

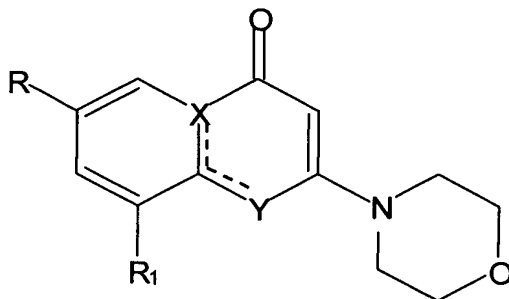
Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1. (Original) A method of disrupting platelet aggregation and adhesion occurring under high shear conditions comprising administering an effective amount of a selective PI 3-kinase β inhibitor to a patient in need thereof.

2. (Original) A method for antithrombosis comprising administering an effective amount of a selective PI 3-kinase β inhibitor to a patient in need thereof,



provided that the inhibitor is not according to formula (II):

(II)

wherein,

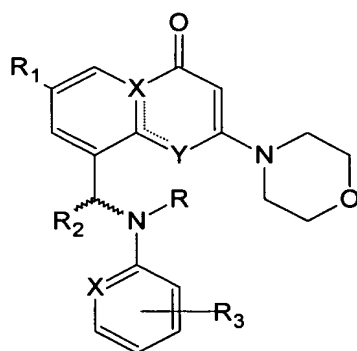
where X and Y are C and O respectively, or C and NH respectively, or both N

R is H, OH, F, Cl, Br, I, C₁-C₆ alkyl, aryl or (CH₂)_n-aryl;

R¹ is H, OH, F, Cl, Br, I, C₁-C₆ alkyl, C₃-C₆ cycloalkyl, CH=CH-aryl, C≡C-aryl, (CHR³)_n-aryl, NR³-C₁-C₆ alkyl, NR³-cycloalkyl, NR³-(CHR³)_n-aryl, (CHR³)_n-NR³-alkyl, (CHR³)_n-NR³-cycloalkyl, (CHR³)_n-O-aryl, (CHR³)_n-O-alkyl, (CHR³)_n-O-cycloalkyl, O-(CHR³)_n-aryl, S-(CHR³)_n-aryl, or CO-aryl, wherein n is 0, 1, or 2 and alkyl, cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO₂H, CO₂R³, NO₂, CF₃, substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF₃, OR³, OSO₂-aryl, substituted or unsubstituted amine, NHCOR³, NHSO₂R³, CONHR³, or SO₂NHR³; and

R^3 is H, or substituted or unsubstituted C_1 - C_6 alkyl, substituted or unsubstituted aryl;
except where the compound of formula (II) is selected from the group consisting of:
9-(3-pyridinylmethoxy)-2-morpholinyl-4H-pyrido[1,2-a]pyrimidin-4-one (TGX-140);
7-methyl-9-phenylaminomethyl-2-morpholinyl-4H-pyrido[1,2-a]pyrimidin-4-one (TGX-183);
8-(4-methylphenyl)-2-(4-morpholinyl)-4(1H)-quinolinone (TGX-113);
8-(4-fluorophenoxy)-2-(4-morpholinyl)-4(1H)-quinolinone (TGX-121);
2-morpholinyl-8-(phenylmethyl)-4H-1-benzopyran-4-one (TGX-90);
2-(4-morpholinyl)-8-(4-fluoro-2-methylphenyl)oxy-4H-1-benzopyran-4-one (TGX-184);
7-methyl-9-(*N*-Methyl-*N*-phenyl)aminomethyl-2-(4-morpholinyl)-4H-pyrido[1,2-a]pyrimidin-4-one (TGX-195);
2-(4-morpholinyl)-8-(phenylmethyl)amino-4H-1-benzopyran-4-one (TGX-204);
2-(4-morpholinyl)-8-phenylamino-4H-1-benzopyran-4-one (TGX-324);
8-(3-chlorophenyl)oxy-2-(4-morpholinyl)-4H-1-benzopyran-4-one (TGX-259);
8-(3-methylphenyl)-2-(4-morpholinyl)-4(1H)-quinolinone (TGX-127);
8-(2-fluorophenyl)-2-(4-morpholinyl)-4(1H)-quinolinone (TGX-143);
(±)-7-methyl-2-morpholin-4-yl-9-[1-(3-pyridinylamino)ethyl]-pyrido[1,2-a]pyrimidin-4-one (KN-304).

3. (Original) The method of claim 2, wherein the selective PI 3-kinase β inhibitor is according to formula (I):



(I)

wherein,

R is H, C_1 - C_6 branched or straight chain alkyl, or aryl or $(CH_2)_n$ -aryl;

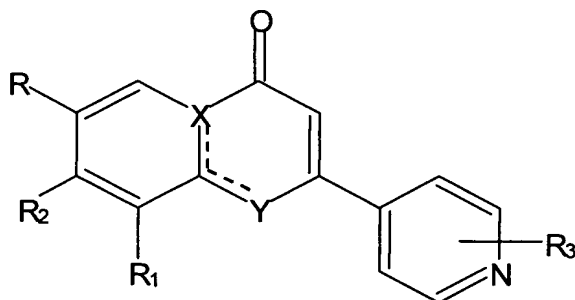
R_1 is H, OH, OCH_3 , OCF_3 , F, Cl, CF_3 , C_1 - C_6 branched or straight chain alkyl, or aryl or $(CH_2)_n$ -aryl;

R_2 is C_1 - C_6 branched or straight chain alkyl, or aryl or $(CH_2)_n$ -aryl in either the R or the S configuration

R_3 is one or more of H, F, Cl, Br, I, CN, CO_2H , CO_2R , NO_2 , CF_3 , substituted or unsubstituted C_1 - C_6 alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCH_3 , OCH_2F , $OCHF_2$, OCF_3 , OR, OSO_2 -aryl, substituted or unsubstituted amine, $NHCOR$, $NHSO_2R$, $CONHR$, or SO_2NHR

X is C or N and Y is N or O.

4. (Original) The method of claim 2, wherein the selective PI 3-kinase β inhibitor is according to formula (III):



(III)

(A) where X and Y are C and O respectively

R is H, OH, OCH_3 , OCF_3 , F, Cl, Br, I, C_1 - C_6 alkyl, aryl or $(CH_2)_n$ -aryl;

R_1 is H, OH, F, Cl, Br, I, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, $CH=CH$ -aryl, $C\equiv C$ -aryl, $(CHR'^3)_n$ -aryl, NR'^3 - C_1 - C_6 alkyl, NR'^3 -cycloalkyl, NR'^3 -(CHR'^3) $_n$ -aryl, $(CHR'^3)_n$ - NR'^3 -aryl, $(CHR'^3)_n$ - NR'^3 -alkyl, $(CHR'^3)_n$ - NR'^3 -cycloalkyl, $(CHR'^3)_n$ -O-aryl, $(CHR'^3)_n$ -O-cycloalkyl,

O-(CHR'³)_n-aryl, S-(CHR'³)_n-aryl, or CO-aryl, wherein n is 0,1, or 2, (CHR'³)_m-O-alkyl wherein m is 1 or 2, and cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO₂H, CO₂R'³, NO₂, CF₃, substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF₃, OR'³, OSO₂-aryl, substituted or unsubstituted amine, NHCOR'³, NHSO₂R'³, CONHR'³, or SO₂NHR'³ and alkyl is optionally substituted with F, Cl, Br, I, CN, NO₂, CF₃, substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF₃, OSO₂-aryl, substituted or unsubstituted amine, NHCOR'³, NHSO₂R'³, CONHR'³, or SO₂NHR'³;

R₂ and R₃ are independently H, OH, F, Cl, Br, I, C₁-C₆ alkyl, C₃-C₆ cycloalkyl, CH=CH-aryl, C≡C-aryl, (CHR'³)_n-aryl, NR'³-C₁-C₆ alkyl, NR'³-cycloalkyl, NR'³-(CHR'³)_n-aryl, (CHR'³)_n-NR'³-aryl, (CHR'³)_n-NR'³-alkyl, (CHR'³)_n-NR'³-cycloalkyl, (CHR'³)_n-O-aryl, (CHR'³)_n-O-alkyl, (CHR'³)_n-O-cycloalkyl, O-(CHR'³)_n-aryl, S-(CHR'³)_n-aryl, or CO-aryl, wherein n is 0,1, or 2 and alkyl, cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO₂H, CO₂R'³, NO₂, CF₃, substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF₃, OR'³, OSO₂-aryl, substituted or unsubstituted amine, NHCOR'³, NHSO₂R'³, CONHR'³, or SO₂NHR'³; and

R'³ is H, or substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted aryl or

(B) where X and Y are C and NH respectively

R is H, OH, OCH₃, OCF₃, F, Cl, Br, I, C₁-C₆ alkyl, aryl or (CH₂)_n-aryl;

R₁, R₂ and R₃ are independently H, OH, F, Cl, Br, I, C₁-C₆ alkyl, C₃-C₆ cycloalkyl, CH=CH-aryl, C≡C-aryl, (CHR'³)_n-aryl, NR'³-C₁-C₆ alkyl, NR'³-cycloalkyl, NR'³-(CHR'³)_n-aryl, (CHR'³)_n-NR'³-aryl, (CHR'³)_n-NR'³-alkyl, (CHR'³)_n-NR'³-cycloalkyl, (CHR'³)_n-O-aryl, (CHR'³)_n-O-alkyl, (CHR'³)_n-O-cycloalkyl, O-(CHR'³)_n-aryl, S-(CHR'³)_n-aryl, or CO-aryl, wherein n is 0,1, or 2 and alkyl, cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO₂H, CO₂R'³, NO₂, CF₃, substituted or unsubstituted C₁-C₆ alkyl, substituted or

unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF_3 , $\text{OR}^{'3}$, OSO_2 -aryl, substituted or unsubstituted amine, $\text{NHCOR}^{'3}$, $\text{NHSO}_2\text{R}^{'3}$, $\text{CONHR}^{'3}$, or $\text{SO}_2\text{NHR}^{'3}$; and

$\text{R}^{'3}$ is H, or substituted or unsubstituted C_1 - C_6 alkyl, substituted or unsubstituted aryl or

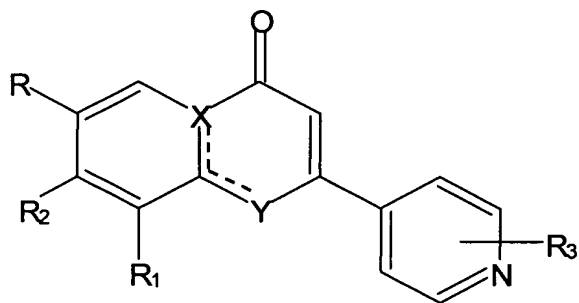
(C) where X and Y are both N

R is H, OH, OCH_3 , OCF_3 , F, Cl, Br, I, C_1 - C_6 alkyl, aryl or $(\text{CH}_2)_n$ -aryl;

R_1 , R_2 and R_3 are independently H, OH, F, Cl, Br, I, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, $\text{CH}=\text{CH}$ -aryl, $\text{C}\equiv\text{C}$ -aryl, $(\text{CHR}^{'3})_n$ -aryl, $\text{NR}^{'3}$ - C_1 - C_6 alkyl, $\text{NR}^{'3}$ -cycloalkyl, $\text{NR}^{'3}$ -($\text{CHR}^{'3}$) $_n$ -aryl, $(\text{CHR}^{'3})_n$ - $\text{NR}^{'3}$ -aryl, $(\text{CHR}^{'3})_n$ - $\text{NR}^{'3}$ -alkyl, $(\text{CHR}^{'3})_n$ - $\text{NR}^{'3}$ -cycloalkyl, $(\text{CHR}^{'3})_n$ -O-aryl, $(\text{CHR}^{'3})_n$ -O-alkyl, $(\text{CHR}^{'3})_n$ -O-cycloalkyl, O-($\text{CHR}^{'3}$) $_n$ -aryl, S-($\text{CHR}^{'3}$) $_n$ -aryl, or CO-aryl, wherein n is 0, 1, or 2 and alkyl, cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO_2H , $\text{CO}_2\text{R}^{'3}$, NO_2 , CF_3 , substituted or unsubstituted C_1 - C_6 alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF_3 , $\text{OR}^{'3}$, OSO_2 -aryl, substituted or unsubstituted amine, $\text{NHCOR}^{'3}$, $\text{NHSO}_2\text{R}^{'3}$, $\text{CONHR}^{'3}$, or $\text{SO}_2\text{NHR}^{'3}$; and

$\text{R}^{'3}$ is H, or substituted or unsubstituted C_1 - C_6 alkyl, substituted or unsubstituted aryl.

5. (Original) A compound having the following formula (III):



(III)

(A) where X and Y are C and O respectively

R is H, OH, OCH₃, OCF₃, F, Cl, Br, I, C₁-C₆ alkyl, aryl or (CH₂)_n-aryl;

R₁ is OH, F, Br, I, C₁-C₆ alkyl, C₃-C₆ cycloalkyl, CH=CH-aryl, C≡C-aryl, (CHR'³)_n-aryl, NR'³-C₁-C₆ alkyl, NR'³-cycloalkyl, NR'³-(CHR'³)_n-aryl, (CHR'³)_n-NR'³-aryl, (CHR'³)_n-NR'³-alkyl, (CHR'³)_n-NR'³-cycloalkyl, (CHR'³)_n-O-aryl, (CHR'³)_n-O-cycloalkyl, O-(CHR'³)_n-aryl, S-(CHR'³)_n-aryl, or CO-aryl, wherein n is 0, 1, or 2, (CHR'³)_m-O-alkyl wherein m is 1 or 2, and cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO₂H, CO₂R'³, NO₂, CF₃, substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF₃, OR'³, OSO₂-aryl, substituted or unsubstituted amine, NHCOR'³, NHSO₂R'³, CONHR'³, or SO₂NHR'³ and alkyl is optionally substituted with F, Cl, Br, I, CN, NO₂, CF₃, substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF₃, OSO₂-aryl, substituted or unsubstituted amine, NHCOR'³, NHSO₂R'³, CONHR'³, or SO₂NHR'³;

R₂ and R₃ are independently H, OH, F, Cl, Br, I, C₁-C₆ alkyl, C₃-C₆ cycloalkyl, CH=CH-aryl, C≡C-aryl, (CHR'³)_n-aryl, NR'³-C₁-C₆ alkyl, NR'³-cycloalkyl, NR'³-(CHR'³)_n-aryl, (CHR'³)_n-NR'³-aryl, (CHR'³)_n-NR'³-alkyl, (CHR'³)_n-NR'³-cycloalkyl, (CHR'³)_n-O-aryl, (CHR'³)_n-O-alkyl, (CHR'³)_n-O-cycloalkyl, O-(CHR'³)_n-aryl, S-(CHR'³)_n-aryl, or CO-aryl, wherein n is 0, 1, or 2 and alkyl, cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO₂H, CO₂R'³, NO₂, CF₃, substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF₃, OR'³, OSO₂-aryl, substituted or unsubstituted amine, NHCOR'³, NHSO₂R'³, CONHR'³, or SO₂NHR'³; and

R'³ is H, or substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted aryl-
or

(B) where X and Y are C and NH respectively,

R is H, OH, OCH₃, OCF₃, F, Cl, Br, I, C₁-C₆ alkyl, aryl or (CH₂)_n-aryl;

R₁ is OH, F, Cl, Br, I, C₁-C₆ alkyl, C₃-C₆ cycloalkyl, CH=CH-aryl, C≡C-aryl, (CHR'³)_n-aryl, NR'³-C₁-C₆ alkyl, NR'³-cycloalkyl, NR'³-(CHR'³)_n-aryl, (CHR'³)_n-NR'³-aryl,

$(\text{CHR}^{'3})_n\text{-NR}^{'3}\text{-alkyl}$, $(\text{CHR}^{'3})_n\text{-NR}^{'3}\text{-cycloalkyl}$, $(\text{CHR}^{'3})_n\text{-O-aryl}$, $(\text{CHR}^{'3})_n\text{-O-alkyl}$, $(\text{CHR}^{'3})_n\text{-O-cycloalkyl}$, $\text{O}-(\text{CHR}^{'3})_n\text{-aryl}$, $\text{S}-(\text{CHR}^{'3})_n\text{-aryl}$, or CO-aryl , wherein n is 0, 1, or 2 and alkyl, cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO_2H , $\text{CO}_2\text{R}^{'3}$, NO_2 , CF_3 , substituted or unsubstituted $\text{C}_1\text{-C}_6$ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF_3 , $\text{OR}^{'3}$, $\text{OSO}_2\text{-aryl}$, substituted or unsubstituted amine, $\text{NHCOR}^{'3}$, $\text{NHSO}_2\text{R}^{'3}$, $\text{CONHR}^{'3}$, or $\text{SO}_2\text{NHR}^{'3}$;

R_2 and R_3 are independently H, OH, F, Cl, Br, I, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_3\text{-C}_6$ cycloalkyl, CH=CH-aryl , $\text{C}\equiv\text{C-aryl}$, $(\text{CHR}^{'3})_n\text{-aryl}$, $\text{NR}^{'3}\text{-C}_1\text{-C}_6$ alkyl, $\text{NR}^{'3}\text{-cycloalkyl}$, $\text{NR}^{'3}\text{-(CHR}^{'3})_n\text{-aryl}$, $(\text{CHR}^{'3})_n\text{-NR}^{'3}\text{-aryl}$, $(\text{CHR}^{'3})_n\text{-NR}^{'3}\text{-alkyl}$, $(\text{CHR}^{'3})_n\text{-NR}^{'3}\text{-cycloalkyl}$, $(\text{CHR}^{'3})_n\text{-O-aryl}$, $(\text{CHR}^{'3})_n\text{-O-alkyl}$, $(\text{CHR}^{'3})_n\text{-O-cycloalkyl}$, $\text{O}-(\text{CHR}^{'3})_n\text{-aryl}$, $\text{S}-(\text{CHR}^{'3})_n\text{-aryl}$, or CO-aryl , wherein n is 0, 1, or 2 and alkyl, cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO_2H , $\text{CO}_2\text{R}^{'3}$, NO_2 , CF_3 , substituted or unsubstituted $\text{C}_1\text{-C}_6$ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF_3 , $\text{OR}^{'3}$, $\text{OSO}_2\text{-aryl}$, substituted or unsubstituted amine, $\text{NHCOR}^{'3}$, $\text{NHSO}_2\text{R}^{'3}$, $\text{CONHR}^{'3}$, or $\text{SO}_2\text{NHR}^{'3}$; and

$\text{R}^{'3}$ is H, or substituted or unsubstituted $\text{C}_1\text{-C}_6$ alkyl, substituted or unsubstituted aryl or

(C) where X and Y are both N

R is H, OH, OCH_3 , OCF_3 , F, Cl, Br, I, $\text{C}_1\text{-C}_6$ alkyl, aryl or $(\text{CH}_2)_n\text{-aryl}$;

R_1 is OH, F, Cl, Br, I, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_3\text{-C}_6$ cycloalkyl, CH=CH-aryl , $\text{C}\equiv\text{C-aryl}$, $(\text{CHR}^{'3})_n\text{-aryl}$, $\text{NR}^{'3}\text{-C}_1\text{-C}_6$ alkyl, $\text{NR}^{'3}\text{-cycloalkyl}$, $\text{NR}^{'3}\text{-(CHR}^{'3})_n\text{-aryl}$, $(\text{CHR}^{'3})_n\text{-NR}^{'3}\text{-aryl}$, $(\text{CHR}^{'3})_n\text{-NR}^{'3}\text{-alkyl}$, $(\text{CHR}^{'3})_n\text{-NR}^{'3}\text{-cycloalkyl}$, $(\text{CHR}^{'3})_n\text{-O-aryl}$, $(\text{CHR}^{'3})_n\text{-O-alkyl}$, $(\text{CHR}^{'3})_n\text{-O-cycloalkyl}$, $\text{O}-(\text{CHR}^{'3})_n\text{-aryl}$, $\text{S}-(\text{CHR}^{'3})_n\text{-aryl}$, or CO-aryl , wherein n is 0, 1, or 2 and alkyl, cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO_2H , $\text{CO}_2\text{R}^{'3}$, NO_2 , CF_3 , substituted or unsubstituted $\text{C}_1\text{-C}_6$ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF_3 , $\text{OR}^{'3}$, $\text{OSO}_2\text{-aryl}$, substituted or unsubstituted amine, $\text{NHCOR}^{'3}$, $\text{NHSO}_2\text{R}^{'3}$, $\text{CONHR}^{'3}$, or $\text{SO}_2\text{NHR}^{'3}$;

R_2 and R_3 are independently H, OH, F, Cl, Br, I, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, $CH=CH$ -aryl, $C\equiv C$ -aryl, $(CHR'^3)_n$ -aryl, NR'^3 - C_1 - C_6 alkyl, NR'^3 -cycloalkyl, NR'^3 -(CHR'^3) $_n$ -aryl, $(CHR'^3)_n$ - NR'^3 -aryl, $(CHR'^3)_n$ - NR'^3 -alkyl, $(CHR'^3)_n$ - NR'^3 -cycloalkyl, $(CHR'^3)_n$ -O-aryl, $(CHR'^3)_n$ -O-alkyl, $(CHR'^3)_n$ -O-cycloalkyl, O-(CHR'^3) $_n$ -aryl, S-(CHR'^3) $_n$ -aryl, or CO-aryl, wherein n is 0, 1, or 2 and alkyl, cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO_2H , $CO_2R'^3$, NO_2 , CF_3 , substituted or unsubstituted C_1 - C_6 alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF_3 , OR'^3 , OSO_2 -aryl, substituted or unsubstituted amine, $NHCOR'^3$, $NHSO_2R'^3$, $CONHR'^3$, or $SO_2NHR'^3$; and

R'^3 is H, or substituted or unsubstituted C_1 - C_6 alkyl, substituted or unsubstituted aryl.

6. (Original) The method of claim 2, comprising administering the 2-morpholino-substituted derivative of formula (I) wherein:

R is H, C_1 - C_6 branched or straight chain alkyl or aryl;

R_1 is H, OH, OCH_3 , OCF_3 , F, Cl, CF_3 , C_1 - C_6 branched or straight chain alkyl;

R_2 is C_1 - C_6 branched or straight chain alkyl, or aryl in either the R or the S configuration

R_3 is one or more of H, F, Cl, Br, CN, CO_2H , CO_2R , NO_2 , CF_3 , branched or straight chain C_1 - C_6 alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCH_3 , OCH_2F , $OCHF_2$, OCF_3 , OR, substituted or unsubstituted amine, $NHCOR$, $NHSO_2R$, $CONHR$, or SO_2NHR

X is C or N and Y is N or O.

7. (Original) The method of claim 2, wherein the inhibitor administered is selected from the group consisting of:

(\pm)-7-methyl-9-{[methyl(phenyl)amino]methyl}-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-195);

(±)-7-methyl-2-morpholin-4-yl-9-(1-phenylaminoethyl)-pyrido[1,2-a]pyrimidin-4-one (TGX-221);

(±)-7-methyl-2-morpholin-4-yl-9-[1-(4-fluorophenylamino)ethyl]-pyrido[1,2-a]pyrimidin-4-one (TGX-224);

(±)-9-[1-(3,4-difluorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-237);

(±)-9-[1-(2,5-difluorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-238);

(±)-9-[1-(3,5-difluorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-239);

(±)-9-[1-(4-fluoro-2-methylphenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-240);

(±)-9-[1-(4-chlorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-243);

(±)-9-[1-(3,4-dichlorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-244);

(±)-9-[1-(3-fluorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-247);

(±)-9-[1-(3-chlorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-248);

(±)-7-methyl-2-morpholin-4-yl-9-[1-(2-thiazolylamino)ethyl]-pyrido[1,2-a]pyrimidin-4-one (TGX-261);

(±)-7-methyl-9-[1-(3-methylphenylamino)ethyl]-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-262);

(±)-7-methyl-2-morpholin-4-yl-9-[1-(3-trifluoromethylphenylamino)ethyl]-pyrido[1,2-a]pyrimidin-4-one (TGX-264); and

(±)-7-methyl-2-morpholin-4-yl-9-[1-(2-pyridinylamino)ethyl]-pyrido[1,2-a]pyrimidin-4-one (TGX-295).

(±)-2-({1-[7-methyl-2-(morpholin-4-yl)-4-oxo-pyrido[1,2-a]pyrimidin-9-yl]ethyl}amino)benzoic acid (KN-309);

(±) methyl 2-({1-[7-methyl-2-(morpholin-4-yl)-4-oxo-pyrido[1,2-a]pyrimidin-9-yl]ethyl}amino)benzoate (KN-321);

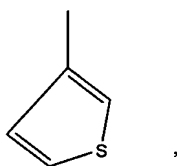
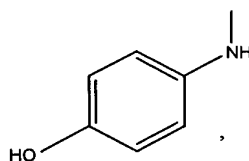
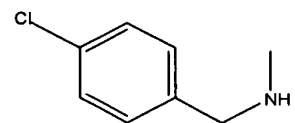
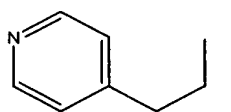
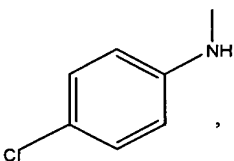
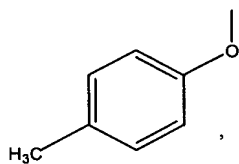
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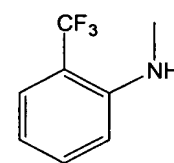
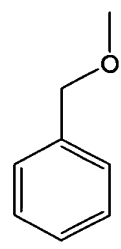
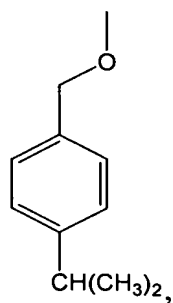
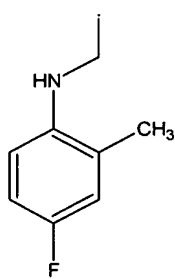
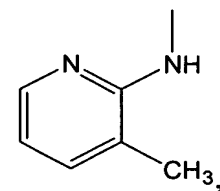
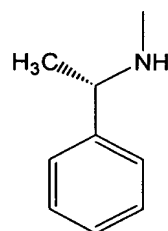
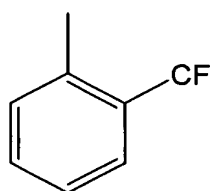
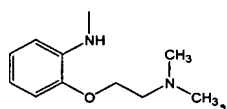
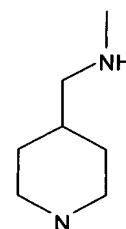
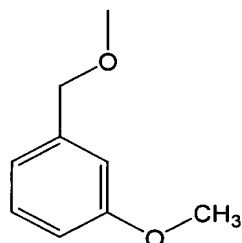
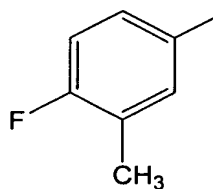
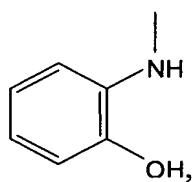
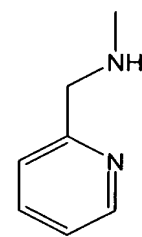
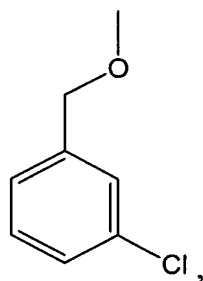
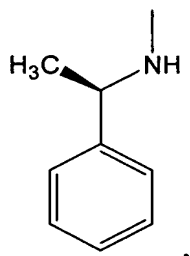
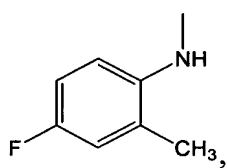
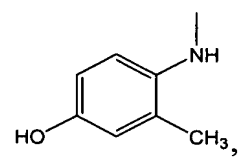
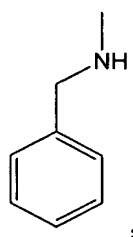
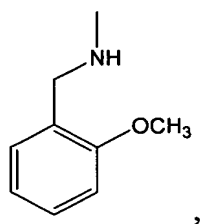
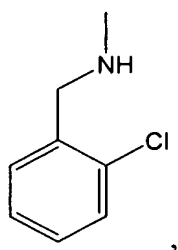
(±)-7-methyl-2-(morpholin-4-yl)-9-(1-{[2-(2*H*-tetrazol-5-yl)phenyl]amino}ethyl)-pyrido[1,2-a]pyrimidin-4-one (KN-325);

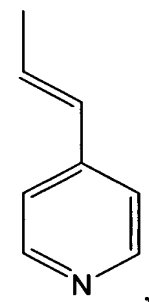
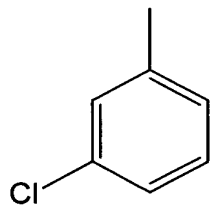
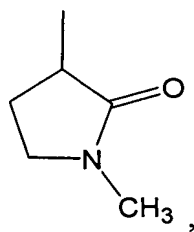
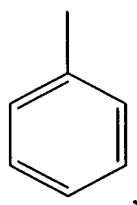
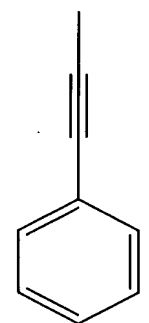
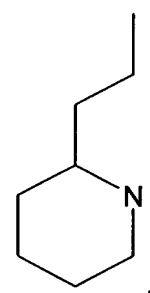
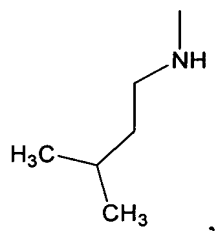
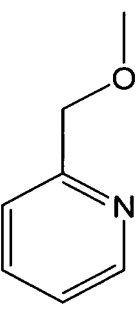
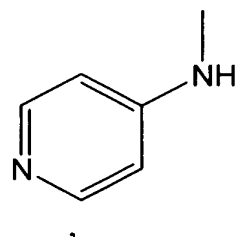
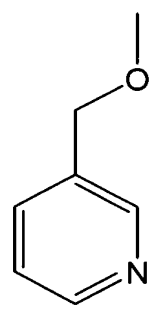
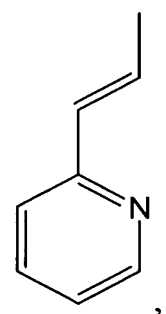
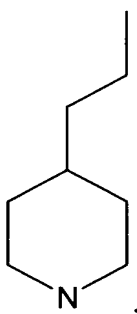
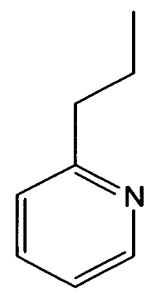
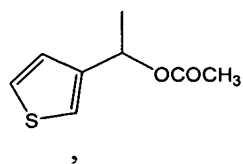
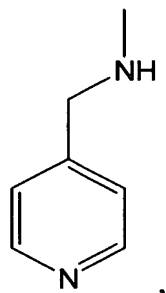
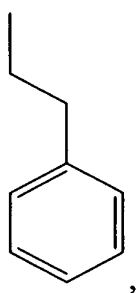
(±)-2-(4-morpholinyl)-8[1-(phenylamino)ethyl]-4*H*-1-benzopyran-4-one (TGX-280).

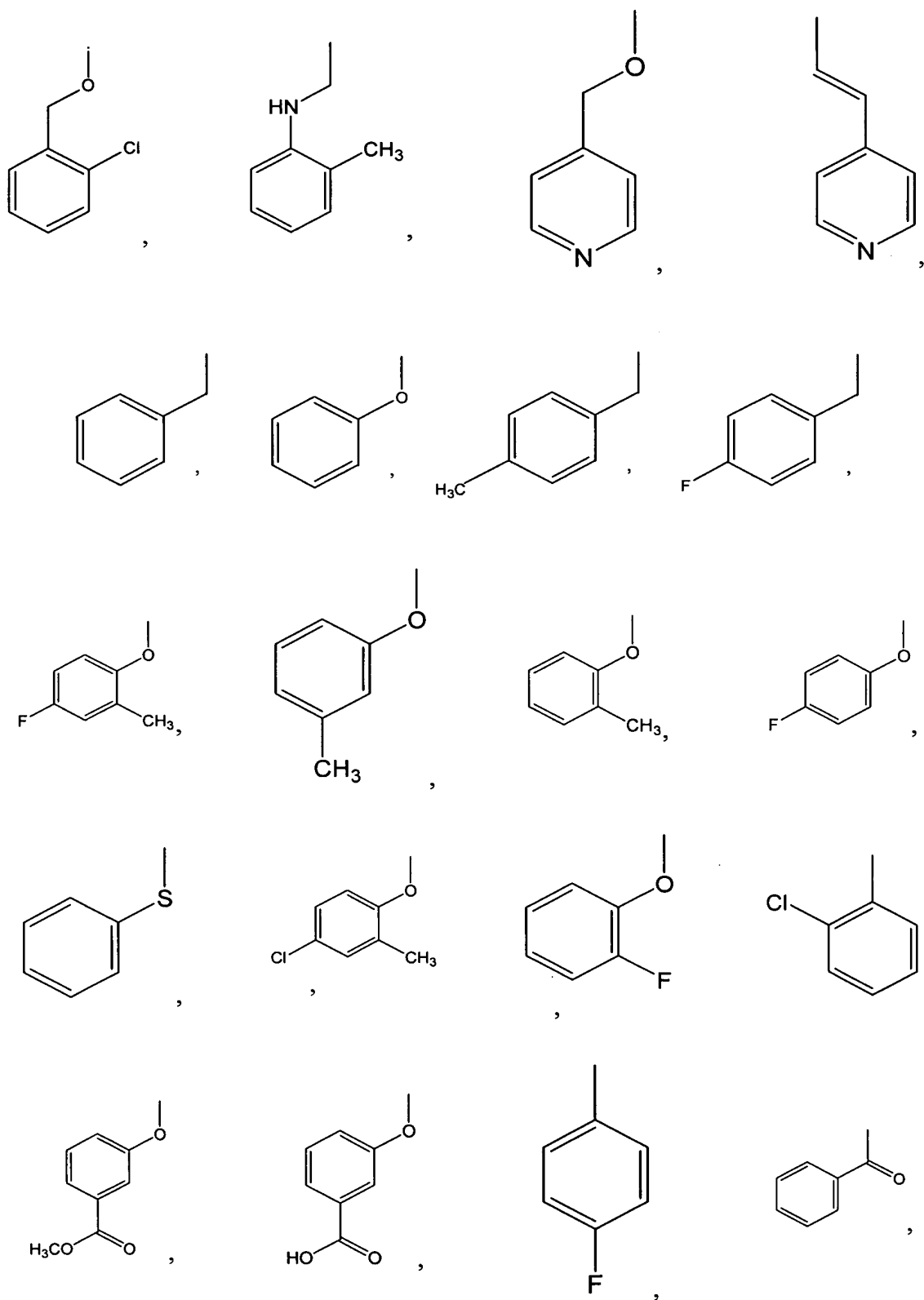
8. (Original) The compound of claim 5, wherein R¹ is selected from a group consisting of,

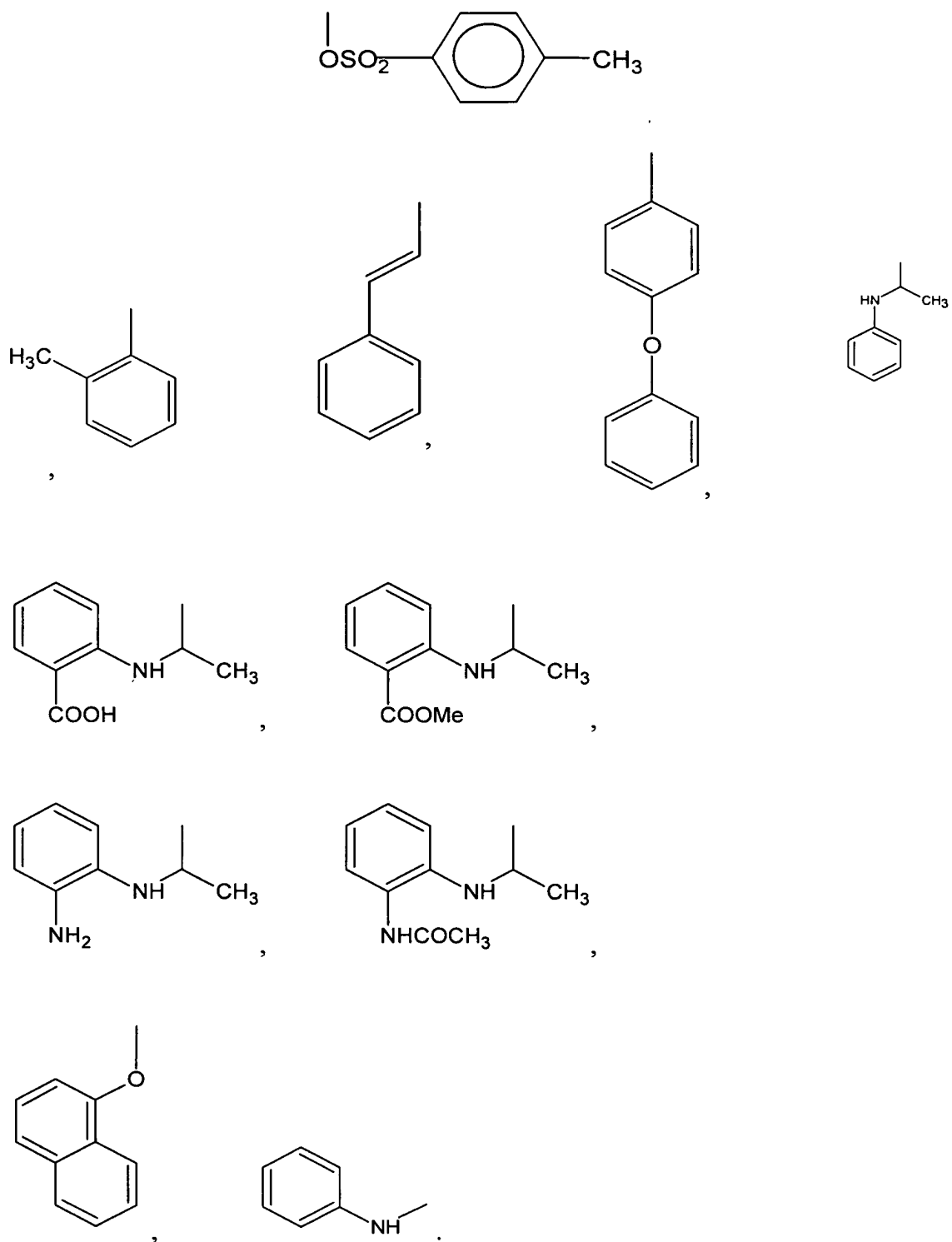
CH₃, C₂H₅,



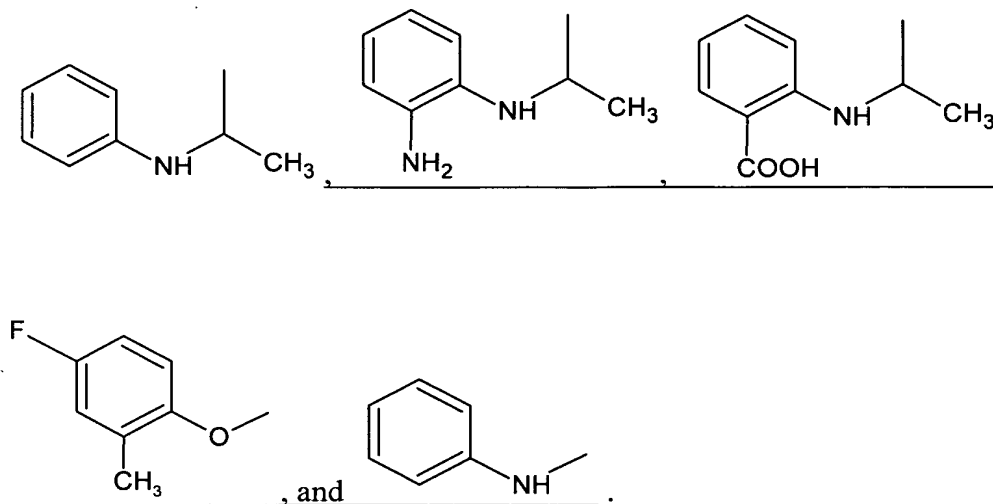








9. (Currently Amended) The compound of claim 5, wherein R is methyl and R¹ is selected from the group consisting of



10 – 13. (Canceled)

14. (Currently amended) A method for inhibiting phosphoinositide 3-kinase, preventing or treating cardiovascular disease, preventing or treating respiratory disease, preventing or treating cancer, preventing or treating disease linked to disordered white blood cell function, in a patient in need thereof, comprising administering to [[a]] the patient an effective amount of the compound of claim 5 effective in inhibiting the phosphoinositide 3-kinase in the patient.

15 – 18. (Canceled)

19. (Currently amended) The method of claim 4, wherein the inhibitor administered is 6-methyl-8-[1-(phenylamino)ethyl]-2-(4-pyridinyl)-4*H*-benzopyran-4-one, or is 6-methyl-8-{1-[(2-aminophenyl)amino]ethyl}-2-(4-pyridinyl)-4*H*-benzopyran-4-one.

20. (Canceled)

21. (Currently amended) A compound which is

(±)-7-methyl-2-morpholin-4-yl-9-(1-phenylaminoethyl)-pyrido[1,2-a]pyrimidin-4-one, (±)-2-({1-[7-methyl-2-(morpholin-4-yl)-4-oxo-pyrido[1,2-a]pyrimidin-9-yl]ethyl} amino)benzoic acid,

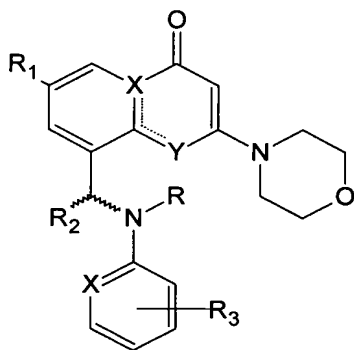
(±)-2-({1-[7-methyl-2-(morpholin-4-yl)-4-oxo-pyrido[1,2-a]pyrimidin-9-yl]ethyl} amino)benzonitrile,

(±) methyl 2-({1-[7-methyl-2-(morpholin-4-yl)-4-oxo-pyrido[1,2-a]pyrimidin-9-yl]ethyl} amino)benzoate,

or (±)-7-methyl-2-(morpholin-4-yl)-9-(1-{[2-(2*H*-tetrazol-5-yl)phenyl]amino}ethyl)-pyrido[1,2-a]pyrimidin-4-one.

22 – 25 (Canceled)

26. (New) A compound according to formula (I):



(I)

wherein,

R is H, C₁-C₆ branched or straight chain alkyl, or aryl or (CH₂)_n-aryl;

R₁ is H, OH, OCH₃, OCF₃, F, Cl, CF₃, C₁-C₆ branched or straight chain alkyl, or aryl or (CH₂)_n-aryl;

R₂ is C₁-C₆ branched or straight chain alkyl, or aryl or (CH₂)_n-aryl in either the R or the S configuration

R₃ is one or more of H, F, Cl, Br, I, CN, CO₂H, CO₂R, NO₂, CF₃, substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted

aryl, OCH₃, OCH₂F, OCHF₂, OCF₃, OR, OSO₂-aryl, substituted or unsubstituted amine, NHCOR, NHSO₂R, CONHR, or SO₂NHR

X is C or N and Y is N or O.

27. (New) A method for inhibiting phosphoinositide 3-kinase, preventing or treating cardiovascular disease, preventing or treating respiratory disease, preventing or treating cancer, or preventing or treating disease linked to disordered white blood cell function, comprising administering an effective amount of any one of the compounds of claim 26 to a patient in need thereof.

28. (New) The method of claim 27, comprising administering the 2-morpholino-substituted derivative of formula (I) wherein:

R is H, C₁-C₆ branched or straight chain alkyl or aryl;

R₁ is H, OH, OCH₃, OCF₃, F, Cl, CF₃, C₁-C₆ branched or straight chain alkyl;

R₂ is C₁-C₆ branched or straight chain alkyl, or aryl in either the R or the S configuration

R₃ is one or more of H, F, Cl, Br, CN, CO₂H, CO₂R, NO₂, CF₃, branched or straight chain C₁-C₆ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCH₃, OCH₂F, OCHF₂, OCF₃, OR, substituted or unsubstituted amine, NHCOR, NHSO₂R, CONHR, or SO₂NHR

X is C or N and Y is N or O.

29. (New) The method of claim 27, wherein the inhibitor administered is selected from the group consisting of:

(±)-7-methyl-9-{[methyl(phenyl)amino]methyl}-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-195);

(±)-7-methyl-2-morpholin-4-yl-9-(1-phenylaminoethyl)-pyrido[1,2-a]pyrimidin-4-one (TGX-221);

(±)-7-methyl-2-morpholin-4-yl-9-[1-(4-fluorophenylamino)ethyl]-pyrido[1,2-a]pyrimidin-4-one (TGX-224);

(±)-9-[1-(3,4-difluorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-237);

(±)-9-[1-(2,5-difluorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-238);

(±)-9-[1-(3,5-difluorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-239);

(±)-9-[1-(4-fluoro-2-methylphenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-240);

(±)-9-[1-(4-chlorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-243);

(±)-9-[1-(3,4-dichlorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-244);

(±)-9-[1-(3-fluorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-247);

(±)-9-[1-(3-chlorophenylamino)ethyl]-7-methyl-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-248);

(±)-7-methyl-2-morpholin-4-yl-9-[1-(2-thiazolylamino)ethyl]-pyrido[1,2-a]pyrimidin-4-one (TGX-261);

(±)-7-methyl-9-[1-(3-methylphenylamino)ethyl]-2-morpholin-4-yl-pyrido[1,2-a]pyrimidin-4-one (TGX-262);

(±)-7-methyl-2-morpholin-4-yl-9-[1-(3-trifluoromethylphenylamino)ethyl]-pyrido[1,2-a]pyrimidin-4-one (TGX-264); and

(±)-7-methyl-2-morpholin-4-yl-9-[1-(2-pyridinylamino)ethyl]-pyrido[1,2-a]pyrimidin-4-one (TGX-295).

(±)-2-({1-[7-methyl-2-(morpholin-4-yl)-4-oxo-pyrido[1,2-a]pyrimidin-9-yl]ethyl}amino)benzoic acid (KN-309);

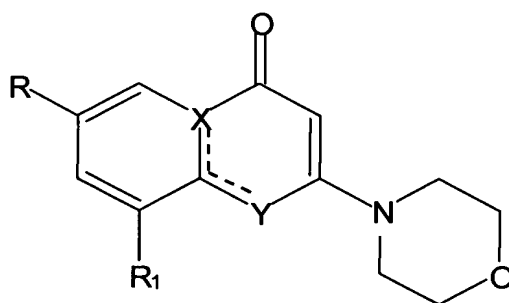
(±) methyl 2-({1-[7-methyl-2-(morpholin-4-yl)-4-oxo-pyrido[1,2-a]pyrimidin-9-yl]ethyl}amino)benzoate (KN-321);

(±)-2-({1-[7-methyl-2-(morpholin-4-yl)-4-oxo-pyrido[1,2-a]pyrimidin-9-yl]ethyl}amino)benzonitrile (KN-320);

(±)-7-methyl-2-(morpholin-4-yl)-9-(1-{[2-(2*H*-tetrazol-5-yl)phenyl]amino}ethyl)-pyrido[1,2-a]pyrimidin-4-one (KN-325);

(±)-2-(4-morpholinyl)-8[1-(phenylamino)ethyl]-4*H*-1-benzopyran-4-one (TGX-280).

30. (New) A method for inhibiting phosphoinositide 3-kinase, preventing or treating cardiovascular disease, preventing or treating respiratory disease, preventing or treating cancer, or preventing or treating disease linked to disordered white blood cell function, comprising administering an effective amount of a PI 3-kinase inhibitor to a patient in need thereof,



provided that the inhibitor is not according to formula (II):

(II)

wherein,

where X and Y are C and O respectively, or C and NH respectively, or both N

R is H, OH, F, Cl, Br, I, C₁-C₆ alkyl, aryl or (CH₂)_n-aryl;

R¹ is H, OH, F, Cl, Br, I, C₁-C₆ alkyl, C₃-C₆ cycloalkyl, CH=CH-aryl, C≡C-aryl, (CHR³)_n-aryl, NR³-C₁-C₆ alkyl, NR³-cycloalkyl, NR³-(CHR³)_n-aryl, (CHR³)_n-NR³-alkyl, (CHR³)_n-NR³-cycloalkyl, (CHR³)_n-O-aryl, (CHR³)_n-O-alkyl, (CHR³)_n-O-cycloalkyl, O-(CHR³)_n-aryl, S-(CHR³)_n-aryl, or CO-aryl, wherein n is 0, 1, or 2 and alkyl, cycloalkyl or aryl is optionally substituted with F, Cl, Br, I, CN, CO₂H, CO₂R³, NO₂, CF₃, substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, OCF₃, OR³, OSO₂-aryl, substituted or unsubstituted amine, NHCOR³, NHSO₂R³, CONHR³, or SO₂NHR³; and

R³ is H, or substituted or unsubstituted C₁-C₆ alkyl, substituted or unsubstituted aryl;

except where the compound of formula (II) is selected from the group consisting of:

9-(3-pyridinylmethyl)oxy-2-morpholinyl-4H-pyrido[1,2-a]pyrimidin-4-one (TGX-140);
 7-methyl-9-phenylaminomethyl-2-morpholinyl-4H-pyrido[1,2-a]pyrimidin-4-one (TGX-183);
 8-(4-methylphenyl)-2-(4-morpholinyl)-4(1H)-quinolinone (TGX-113);
 8-(4-fluorophenoxy)-2-(4-morpholinyl)-4(1H)-quinolinone (TGX-121);
 2-morpholinyl-8-(phenylmethyl)-4H-1-benzopyran-4-one (TGX-90);
 2-(4-morpholinyl)-8-(4-fluoro-2-methylphenyl)oxy-4H-1-benzopyran-4-one (TGX-184);
 7-methyl-9-(*N*-Methyl-*N*-phenyl)aminomethyl-2-(4-morpholinyl)-4H-pyrido[1,2-a]pyrimidin-4-one (TGX-195);
 2-(4-morpholinyl)-8-(phenylmethyl)amino-4H-1-benzopyran-4-one (TGX-204);
 2-(4-morpholinyl)-8-phenylamino-4H-1-benzopyran-4-one (TGX-324);
 8-(3-chlorophenyl)oxy-2-(4-morpholinyl)-4H-1-benzopyran-4-one (TGX-259);
 8-(3-methylphenyl)-2-(4-morpholinyl)-4(1H)-quinolinone (TGX-127);
 8-(2-fluorophenyl)-2-(4-morpholinyl)-4(1H)-quinolinone (TGX-143);
 (±)-7-methyl-2-morpholin-4-yl-9-[1-(3-pyridinylamino)ethyl]-pyrido[1,2-a]pyrimidin-4-one (KN-304).